15

20

1. A compound of the formula:

A₀-A₁-A₂-A₃-A₄-A₅-A₆-A₇-A₈-A₉-A₁₀

or a pharmaceutically acceptable salt, ester, solvate or prodrug thereof, wherein: $A_0 \text{ is hydrogen or an acyl group selected from:}$

- (1) R-(CH₂)_n-C(O)-; wherein n is an integer from 0 to 8 and R is selected from hydroxyl; methyl; N-acetylamino; methoxyl; carboxyl; cyclohexyl optionally containing a one or two double bonds and optionally substituted with one to three hydroxyl groups; and a 5- or 6-membered ring aromatic or nonaromatic ring optionally containing one or two heteroatoms selected from nitrogen, oxygen, and sulfur, wherein the ring is optionally substituted with a moiety selected from alkyl, alkoxy, and halogen; and
- (2) R^1 -CH₂CH₂-(OCH₂CH₂O)_p-CH₂-C(O)-; wherein R^1 is selected from hydrogen, alkyl, and N-acetylamino, and p is an integer from 1 to 8;

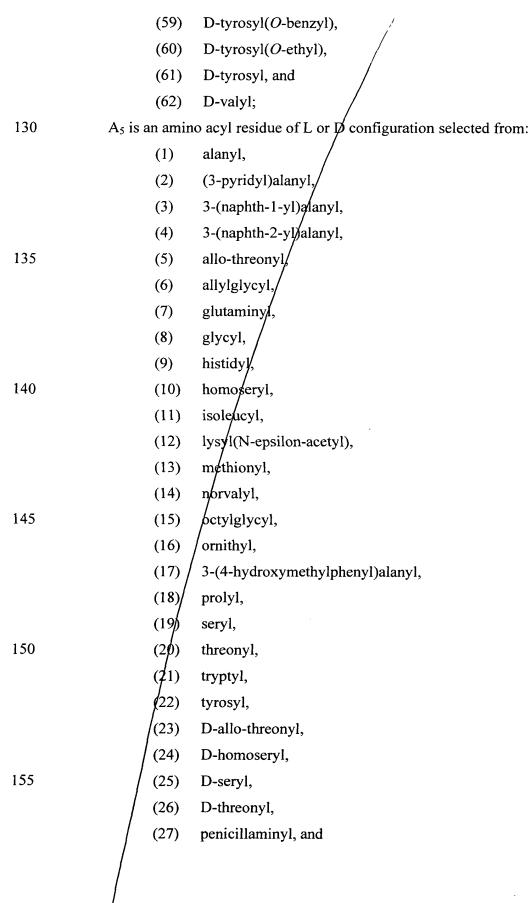
A₁ is an amino acyl residue selected from:

- (1) alanyl,
- (2) asparaginyl,
- (3) citrullyl,
- (4) glutaminyl,
- (5) glutamyl,
- (6) N-ethylglycyl,
- (7) methionyl,
- (8) N-methylalanyl,
- (9) prolyl,
- (10) pyro-glutamyl,
- (11) sarcosyl,

25

		/,
	(15)	t-butylglycyl,
	(16)	threonyl,
	(17)	valyl,
65	(18)	penicillaminyl, and
	(19)	cystyl;
	A ₄ is an amino	o acyl residue of L or D configuration selected from:
	(1)	allo-isoleucyl,
	(2)	glycyl,
70	(3)	isoleucyl,
	(4)	prolyl,
	(5)	dehydroleucyl, /
	(6)	D-alanyl,
	(7)	D-3-(naphth-1-y))alanyl,
75	(8)	D-3-(naphth-2-yl)alanyl,
	(9)	D-(3-pyridyl)/alanyl,
	(10)	D-2-aminobatyryl,
	(11)	D-allo-isoleucyl,
	(12)	D-allo-threonyl,
80	(13)	D-allylglycyl,
	(14)	D-asparaginyl,
	(15)	D-aspartyl,
	(16)	D-benzothienyl,
	(17)	D-3-(4,4'-biphenyl)alanyl,
85	(18)	D-chlorophenylalanyl,
	(19)	D-3/(3-trifluoromethylphenyl)alanyl,
	(20)	D-\$\beta-(3-cyanophenyl)alanyl,
	(21)	D/3-(3,4-difluorophenyl)alanyl,
	(22)	p-citrullyl,
90	(23)	D-cyclohexylalanyl,
	(24)	D-cyclohexylglycyl,
	(25)	D-cystyl,
	(26)	D-cystyl(S-t-butyl),
	/	
	l	

		(27)	D-glutaminyl,
	95	(28)	D-glutamyl,
		(29)	D-histidyl,
		(30)	D-homoisoleucyl,
		(31)	D-homophenylalanyl,
		(32)	D-homoseryl,
	100	(33)	D-isoleucyl,
		(34)	D-leucyl,
		(35)	D-lysyl(N-epsilon-nicotinyl),
		(36)	D-lysyl,
		(37)	D-methionyl,
	105	(38)	D-neopentylglycyl,
ij		(39)	D-norleucyl,
		(40)	D-norvalyl,
=======================================		(41)	D-ornithyl,
ing Till		(42)	D-penicillaminyl,
	110	(43)	D-penic llaminyl (acetamidomethyl),
E		(44)	D-penicillaminyl(S-benzyl),
14		(45)	D-phenylalanyl,
		(46)	D-3/(4-aminophenyl)alanyl,
Ţ		(47)	D-3-(4-methylphenyl)alanyl,
2 2	115	(48)	D-3-(4-nitrophenyl)alanyl,
		(49)	\$\sqrt{9}\$-3-(3,4-dimethoxyphenyl)alanyl,
		(50)	D-3-(3,4,5-trifluorophenyl)alanyl,
		(51)	D-prolyl,
		(52)	D-seryl,
	120	(53)	D-seryl(O-benzyl),
		(5/4)	D-t-butylglycyl,
		(55)	D-thienylalanyl,
		(56)	D-threonyl,
		(57)	D-threonyl(O-benzyl),
	125	$\int (58)$	D-tryptyl,
		/	



170

175

180

185

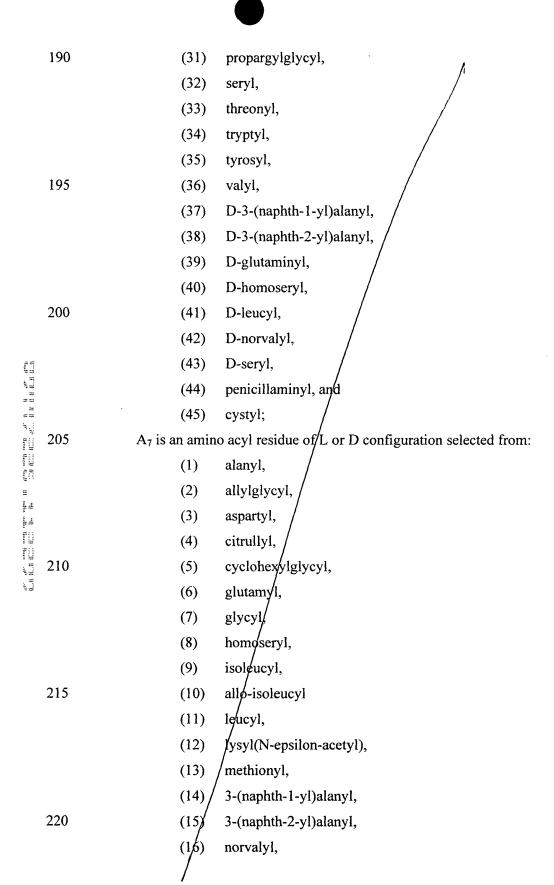


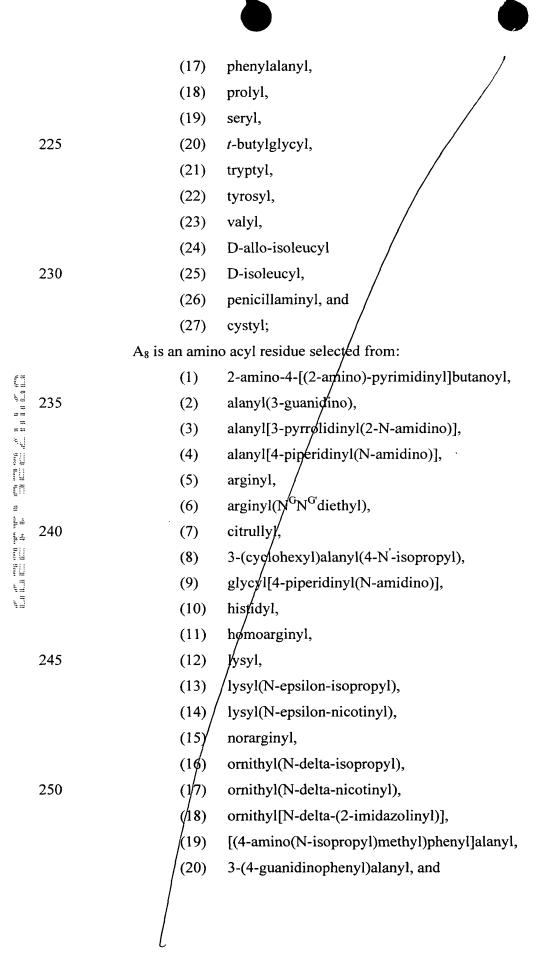
(28) cystyl;

A₆ is an amino acyl residue of L or D configuration selected from:

160	(1)	alanyl,

- (2) 3-(naphth-1-yl)alanyl,
- (3) 3-(naphth-2-yl)alanyl,
- (4) (3-pyridyl)alanyl,
- (5) 2-aminobutyryl,
- (6) allylglycyl,
 - (7) arginyl,
 - (8) asparaginyl,
 - (9) aspartyl,
 - (10) citrullyl,
 - (11) cyclohexylalanyl,
 - (12) glutaminyl,
 - (13) glutanyl,
 - (14) glyc/yl,
 - (15) histidyl,
 - (16) homoalanyl,
 - (17) /homoleucyl,
 - (18) / homoseryl,
 - (19) isoleucyl,
 - $(2\emptyset)$ leucyl,
 - (21) lysyl(N-epsilon-acetyl),
 - (22) lysyl(N-epsilon-isopropyl),
 - (23) methionyl(sulfone),
 - (24) methionyl(sulfoxide),
 - (25) methionyl,
 - (26) norleucyl,
 - (27) norvalyl,
 - (28) octylglycyl,
 - (29) phenylalanyl,
 - (30) 3-(4-carboxyamidephenyl)alanyl,





			<u>/</u>
		(21)	3-(4-amino-N-isopropylphenyl)alanyl;
	255	A ₉ is an amino	acyl residue of L or D configuration selected from:
		(1)	2-amino-butyryl,
		(2)	2-amino-isobutyryl,
		(3)	homoprolyl,
		(4)	hydroxyprolyl,
	260	(5)	isoleucyl,
		(6)	leucyl,
		(7)	phenylalanyl, /
		(8)	prolyl,
		(9)	seryl,
	265	(10)	t-butylglycyl,
1 1		(11)	1,2,3,4-tetrahydroisoquinoline-3-carbonyl,
		(12)	threonyl,
== === }_:		(13)	valyl,
#174 .A		(14)	D-alany, and
	270	(15)	D-prolyl; and
8		A ₁₀ is a hydro	oxyl group or an amino acid amide is selected from:
ndh ndh llan llan ad' ad'		(1)	azag/ycylamide,
# !!		(2)	D-a/anylamide,
* = =		(3)	D-alanylethylamide,
į	275	(4)	glycylamide,
		(5)	glycylethylamide,
		(6)	sarcosylamide,
		(7)	serylamide,
		(8)	D-serylamide,
	280	(9)	a group represented by the formula
			R^2 -NH-(CH ₂) _s -CHR ³ , and
			-NH-(CH ₂) _s -CHR ³ , and
		(10)	a group represented by the formula -NH-R ⁴ ;
		/	
		/	

10

15

wherein:

s is an integer selected from 0 to 8,

285

290

R² is selected from hydrogen, alkyl, and a 5- to 6-membered cycloalkyl ring;

R³ is selected from hydrogen, hydroxy, alkyl, phenyl, alkoxy, and a 5- to 6membered ring optionally containing from one to two heteroatoms selected from oxygen, nitrogen, and sulfur, provided that s is not zero when R³ is hydroxy or alkoxy; and

R⁴ is selected from hydrogen, hydroxy, and a 5- to 6-membered cycloalkyl ring.

- 2. A compound according to Claim 1, wherein A₁ is sarcosyl, A₂ is glycyl, A₃ is valyl, A_7 is isoleucyl, A_8 is arginyl, A_9 is prolyl, and A_0 , A_4 , A_5 , A_6 , and A_{10} are as defined in Claim 1.
- 3. A compound according to Claim 2, wherein A₄ is an amino acyl residue having a D configuration selected from:
 - (1) D-alanyl,
 - **(2)** D-3-(naphth-1-yl)alanyl,
 - (3) D-3-(naphth-2-yl)alanyl,
 - (4) D-(3-pyridyl)-alanyl,
 - (5) D-2-aminobutyryl,
 - D-allo-isoleucyl, (6)
 - (7) D-allo-threonyl,
 - (8) D-allylglycyl,
 - (9) D-asparaginyl,
 - (10)D-aspartyl,
 - (11)D-chlorophenylalanyl,
 - (12)D-3-(3-trifluoromethylphenyl)alanyl,
 - (13)D-3-(3-cyanophenyl)alanyl,
 - (14)D-3-(3,4-difluorophenyl)alanyl,
 - (15)D-cyclohexylalanyl,

that are to the to the that the that

##

on Hill Hill Brown att off

D-tyrosyl(*O*-ethyl),

10

5

5

(3)

(4)

norvalyl, and

seryl.

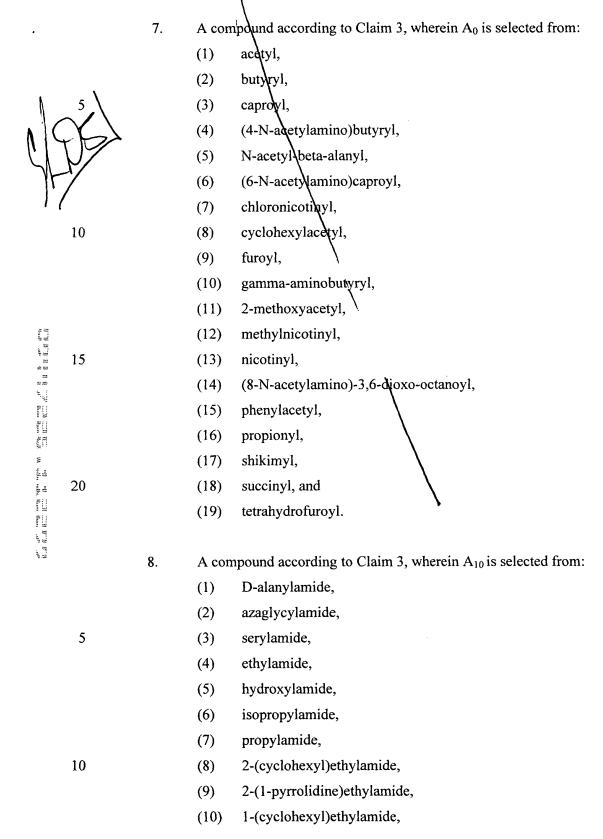
	4.	A con	npound according to Claim 3, wherein A ₄ is an amino acyl residue
having	a D co	nfigura	tion selected from:
		(1)	D-allo-isoleucyl,
		(2)	D-allylglycyl,
		(3)	D-3-(3-cyanophenyl)alanyl,
		(4)	D-cystyl,
		(5)	D-isoleucyl,
		(6)	D-leucyl,
		(7)	D-penicillaminyl,
		(8)	D-phenylalanyl,
		(9)	D-3-(3,4,5-trifluorophenyl)alanyl, and
		(10)	D-3-(4-aminophenyl)alanyl.
	5.	A com	apound according to Claim 2, wherein A ₅ is selected from:
			poulle detectang to claim 2, wherein 11, to belocious from.
		(1)	glycyl,
		(1)	glycyl,
		(1) (2)	glycyl, octylglycyl,
		(1)(2)(3)	glycyl, octylglycyl, penicillaminyl,
		(1)(2)(3)(4)	glycyl, octylglycyl, penicillaminyl, seryl,
	6.	(1) (2) (3) (4) (5) (6)	glycyl, octylglycyl, penicillaminyl, seryl, threonyl, and
	6.	(1) (2) (3) (4) (5) (6)	glycyl, octylglycyl, penicillaminyl, seryl, threonyl, and tyrosyl.

(48)

(49)

D-tyrosyl, and

D-valyl.



	(11)	2-(methoxy)ethylamide,
	(12)	2-(hydroxy)ethylamide,
15	(13)	2-(2-pyridine)ethylamide,
	(14)	(2-pyridine)methylamide,
	(15)	2-(3-pyridine)ethylamide,
	(16)	2-(2-(1-methyl)pyrrolidine)ethylamide,
	(17)	2-(N-morpholine)ethylamide, and
20	(18)	cyclopropylmethylamide.
	9. A con	npound according to Claim 1, wherein A ₄ is an amino acyl residue
	having a D configura	tion selected from:
	(1)	D-allo-isoleucyl,
5	(2)	D-allylglycyl,
	(3)	D-3-(3-cyanophenyl)alanyl,
	· (4)	D-cystyl,
	(5)	D-isoleucyl,
	(6)	D-leucyl,
10	(7)	D-penicillaminyl,
	(8)	D-phenylalanyl,
	(9)	D-3-(3,4,5-trifluorophenyl)alanyl, and
	(10)	D-3-(4-aminophenyl)alanyl;
	A ₅ is an amin	o acyl residue selected from:
15	(1)	octylglycyl,
	(2)	glycyl,
	(3)	penicillaminyl,
	(4)	seryl,
	(5)	threonyl, and
20	(6)	tyrosyl; and
	A ₆ is an amin	o acyl residue selected from:
	(1)	glutaminyl,
	(2)	leucyl,
	(3)	norvalyl, and

(4) seryl.

10. A compound according to Claim 9, wherein A_0 is selected from:

- (1) acetyl,
- (2) butyryl,
- (3) caproyl,
- (4) (4-Nacetylamino)butyryl,
- (5) N-acetyl-beta-alanyl,
- (6) (6-N-acetylamino)caproyl,
- (7) chloronicotinyl,
- (8) cyclohexylacetyl,
- (9) furoyl,
- (10) gamma-amindbutyryl,
- (11) 2-methoxyacet χl ,
- (12) methylnicotinyl,
- (13) nicotinyl,
- (14) (8-N-acetylamino)-\$,6-dioxo-octanoyl,
- (15) phenylacetyl,
- (16) propionyl,
- (17) shikimyl,
- (18) succinyl, and
- (19) tetrahydrofuroyl.

11. A compound according to Claim 9, wherein A_{10} is selected from:

- (1) D-alanylamide,
 - (2) azaglycylamide,
 - (3) serylamide
 - (4) ethylamide,
 - (5) hydroxylamide,
- 30 (6) isopropylamide,
 - (7) propylamide,

25

		(b) 2 (c) closed y the state of
		(9) 2-(1-pyrrolidine)ethylamide,
		(10) 1-(cyclohexyl)ethylamide,
		(11) 2-(methoxy)ethylamide,
5		(12) 2-(hydroxy)ethylamide,
		(13) 2-(2-pyridine)ethylamide,
		(14) (2-pyridine)methylamide,
		(15) 2-(3-pyridine)ethylamide,
		(16) 2-(2-(1-methyl)pyrrolidine)ethylamide,
10		(17) 2-(N-morpholine)ethylamide, and
		(18) cyclopropylmethylamide.
(/
4	12.	A compound, or a pharmaceutically acceptable salt, ester, solvate or
\nearrow	rodrug there	eof, selected from:
15	(1)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(2)	pyroGlu-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(3)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nvarlle-Arg-ProNHCH3,
	(4)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nya-Ile-Arg-ProNHCH2(CH3)2,
	(5)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₂ -(1-pyrrolidine),
20	(6)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHethylpiperidine,
	(7)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHmethylcyclopropyl,
	(8)	N-Ac-Sar-Gly-Val-D-IJe-Thr-Nva-Ile-Arg-ProNH(ethyl-1-(R)-cyclohexyl),
	(9)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH ₂ ,
	(10)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH2OCH3,
25	(11)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH2cyclohexyl,
	(12)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
	(13)	N-Ac-Sar-Gly/Val-D-allolle-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(14)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(15)	N-Ac-Sar-Gly-Val-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
30	(16)	N-Ac-Sar/Gly-Val-Gly-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(17)	N-Ac-Sar-Gly-Val-D-Val-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(18)	N-Ac-Sar-Gly-Val-D-Ala-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(19)	N-Ac/Sar-Gly-Val-D-Met-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		- 209 -
		Y

(8)

The time time that the other wite their time of the

2-(cyclohexyl)ethylamide,

(20)	N-Ac-Sar-Gly-Val-D-Nle-Thr-Nva-Ile-Arg-ProNHCL/2CH ₃ ,
(21)	N-Ac-Sar-Gly-Val-D-Phe-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(22)	N-Ac-Sar-Gly-Val-D-Tyr-Thr-Nva-Ile-Arg-ProNACH ₂ CH ₃ ,
(23)	N-Ac-Sar-Gly-Val-D-4,4'-Biphenylala-Thr-Nva/Ile-Arg-ProNHCH ₂ CH ₃ ,
(24)	N-Ac-Sar-Gly-Val-D-Cha-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(25)	N-Ac-Sar-Gly-Val-D-Chg-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(26)	N-Ac-Sar-Gly-Val-D-4-ClPhe-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(27)	N-Ac-Sar-Gly-Val-D-Hphe-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(28)	N-Ac-Sar-Gly-Val-Dehydroleu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(29)	N-Ac-Sar-Gly-Val-D-3-CF ₃ Phe-Thy-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(30)	N-Ac-Sar-Gly-Val-D-pentaFPhe-Thr-Nva-Ile-Arg-ProNHCH2CH2
(31)	N-Ac-Sar-Gly-Val-D-3,4-diClPhe-Thr-Nva-Ile-Arg-ProNHCH2CH2
(32)	N-Ac-Sar-Gly-Val-D-3-ClPhe/Thr-Nva-Ile-Arg-ProNHCH2CH2
(33)	N-Ac-Sar-Gly-Val-D-2-Thienylala-Thr-Nva-Ile-Arg-ProNHCH2CH2.
(34)	N-Ac-Sar-Gly-Val-D-3-CMPhe-Thr-Nva-Ile-Arg-ProNHCH2CH3.
	N-Ac-Sar-Gly-Val-D-Ile-Thr-DNva-Ile-Arg-ProNHCH2CH2.
• •	N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH2CH3,
	N-Ac-Sar-Gly-Val-D-file-Thr-Cha-Ile-Arg-ProNHCH2CH3.
	N-Ac-Sar-Gly-Val-D-Ile-Thr-Gly-Ile-Arg-ProNHCH2CH3.
	N-Ac-Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-ProNHCH ₂ CH ₃ ,
. ,	N-Ac-Sar-Gly-Val-D-Ile-Thr-Val-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-Ac-Sar-Gly-Val-D-Ile-Thr-Abu-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-Ac-Sar-Gly-Val-D-Ile-Thr-Allylgly-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-Ac-Sar-Gly-Val-D-Ile-Thr-Octylgly-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-Ac-Sar-Gly-Val-D-Ile-Thr-Met-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-Cyclohexylacetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
. ,	N-(2-Me-Nicotinyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-Nicotinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
• •	N-Propionyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
. ,	N-(MeO)acetyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	N-(Shikimyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
(52)	N-(2-Furoyl)-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
1	<i>!</i>
	(21) (22) (23) (24) (25) (26) (27) (28) (29) (30) (31) (32) (33)

	(53)	N-Butyryl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(54)	N[2-THFcarbonyl]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(55)	N-[CH ₃ C(O)NH-(CH ₂) ₂ -O-(CH ₂) ₂ -O-CH ₂ -C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
5	(56)	N[6-N-acetyl-(CH ₂) ₅ C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(57)	N-Hexanoyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(58)	N-[4-N-Acetylaminobutyryl]-Sar-Gly-Val-D-lle-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
10	(59)	H-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-PrøNHCH2CH3,
	(60)	N-Ac-Sar-Gly-Asn-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(61)	N-[CH ₃ C(O)NH-(CH ₂) ₂ -O-(CH ₂) ₂ -O-(CH ₂ -C(O)]-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(62)	N-Ac-Pro-Gly-Val-D-Ile-Thr-Nva-tle-Arg-ProNHCH2CH3,
15	(63)	N-Ac-Gly-Gly-Val-D-Ile-Thr-Nya-Ile-Arg-ProNHCH2CH3,
	(64)	N-Ac-Ala-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(65)	N-Ac-NEtGly-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(66)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH2CH3,
	(67)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Ser-Ile-Arg-ProNHCH ₂ CH ₃ ,
20	(68)	N-Ac-Sar-Gly-Val-D-Ilg-Thr-Nva-Ile-Arg-Pro-D-AlaNH ₂ ,
	(69)	N-Ac-Sar-Gly-Val-D-Ve-Thr-Nva-Ile-Arg-D-ProNHCH ₂ CH ₃ ,
	(70)	N-Ac-Sar-Gly-Val-D/Ile-Thr-Nva-Ile-Arg-AbuNHCH2CH3,
	(71)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Phe-NHCH ₂ CH ₃ ,
	(72)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Tic-NHCH ₂ CH ₃ ,
25	(73)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Hyp-NHCH ₂ CH ₃ ,
	(74)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Aib-NHCH ₂ CH ₃ ,
	(75)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-D-Ala-NHCH ₂ CH ₃ ,
	(76)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pip-NHCH ₂ CH ₃ ,
	(77)	N-Ac-Sar-Gly-Val-D-Tyr(Et)-Thr-Nva-Ile-Arg-ProNHCH2CH3,
30	(78)	N-Ac-Sar-Gly-Val-D-Cys(tBu)-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(79)	N-Ac-Sar-Gly-Val-D-Cys-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(80)	N-Ac-Sar-Gly-Val-D-Tyr(Bzl)-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(81)	N-Ac/Sar-Gly-Val-D-Ser(Bzl)-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(82)	N-Ac-Sar-Gly-Val-D-1Nal-Thr-Nva-Ile-Arg-ProNHCH2CH3,

		/
	(83)	N-Ac-Sar-Gly-Val-D-tButylgly-Thr-Nva-Ile-Arg-ProNHCl/12CH3,
	(84)	N-Ac-Sar-Gly-Val-D-Orn-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(85)	N-Ac-Sar-Gly-Val-D-Thr(Bzl)-Thr-Nva-Ile-Arg-ProNACH2CH3,
	(86)	N-Ac-Sar-Gly-Val-D-2Nal-Thr-Nva-Ile-Arg-ProNHCH2CH3,
5	(87)	N-Ac-Sar-Gly-Val-D-Phe(4-Me)-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(88)	N-Ac-Sar-Gly-Val-D-Phe(3,4-diMeO)-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(89)	N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(90)	N-Ac-Sar-Gly-Val-D-Phe(4-NO ₂)-Thr-Nva/lle-Arg-ProNHCH ₂ CH ₃ ,
	(91)	N-Ac-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
10	(92)	N-Ac-Sar-Gly-Val-D-Pen(Acm)-Thr-Nya-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(93)	N-Ac-Sar-Gly-Val-D-Abu-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	(94)	N-Ac-Sar-Gly-Val-D-Phe(4-NH ₂)-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(95)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ala-Arg-ProNHCH2CH3,
	(96)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Met-Arg-ProNHCH2CH3,
15	(97)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Phe-Arg-ProNHCH2CH3,
	(98)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Tyr-Arg-ProNHCH ₂ CH ₃ ,
	(99)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Nva-Arg-ProNHCH ₂ CH ₃ ,
	(100)	N-Ac-Sar-Gly-Val-D-Ley-Thr-Nva-Asp-Arg-ProNHCH2CH3,
	(101)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Gly-Arg-ProNHCH2CH3,
20	(102)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Lys(Ac)-Arg-ProNHCH ₂ CH ₃ ,
	(103)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Leu-Arg-ProNHCH ₂ CH ₃ ,
	(104)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-2Nal-Arg-ProNHCH2CH3,
	(105)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-1Nal-Arg-ProNHCH ₂ CH ₃ ,
	(106)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Allylgly-Arg-ProNHCH ₂ CH ₃ ,
25	(107)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Cit-Arg-ProNHCH ₂ CH ₃ ,
	(108)	N-Ac-Sar-Gly-Val-D-Leu-Ala-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(109)	N-Ac-Sar-Gly-Val-D-Leu-Pro-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(110)	N-Ac-Sar-Gly-Val-D-Leu-Trp-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(111)	N-Ac-Sar-Gly-Val-D-Leu-Tyr-Nva-Ile-Arg-ProNHCH2CH3,
30	(112)	N-Ac-Sar-Gly-Val-D-Leu-Nva-Nva-Ile-Arg-ProNHCH2CH3,
	(113)	N-Ac-Sar-Gly-Val-D-Leu-Gly-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(114)	N-Ac-Sar-Gly-Val-D-Leu-Lys(Ac)-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	(115)	N-Ac-Sar-Gly-Val-D-Leu-2Nal-Nva-Ile-Arg-ProNHCH2CH3,
	10 15 20 25	(84) (85) (86) 5 (87) (88) (89) (90) (91) 10 (92) (93) (94) (95) (96) 15 (97) (98) (99) (100) (101) 20 (102) (103) (104) (105) (106) 25 (107) (108) (109) (110) (111) 30 (112) (113) (114)

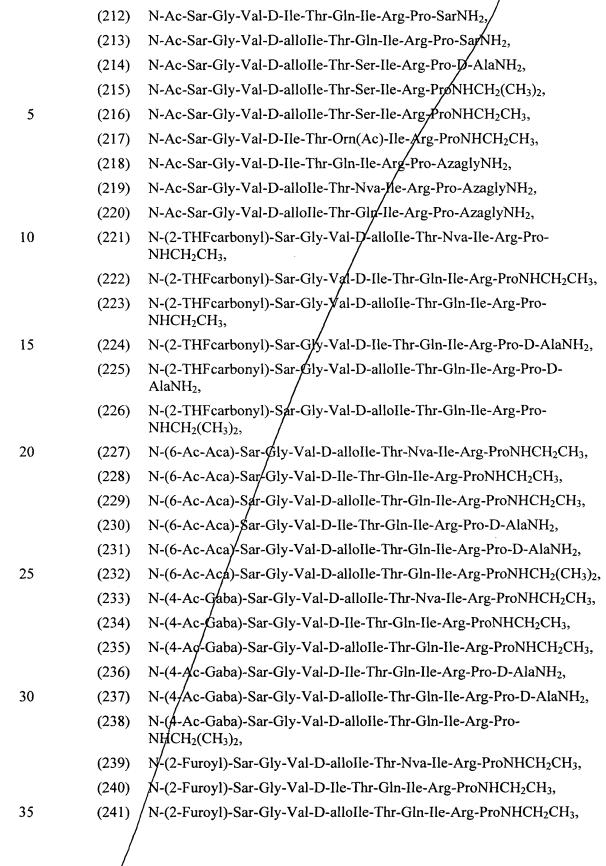
			/
		(116)	N-Ac-Sar-Gly-Val-D-Leu-1Nal-Nva-Ile-Arg-ProNHCH ₂ OH ₃ ,
		(117)	N-Ac-Sar-Gly-Val-D-Leu-Octylgly-Nva-Ile-Arg-ProNHCH2CH3,
		(118)	N-Ac-Sar-Gly-Val-D-Leu-Gln-Nva-Ile-Arg-ProNHCH2CH3,
		(119)	N-Ac-Sar-Gly-Val-D-Leu-Met-Nva-Ile-Arg-ProNHCH2CH3,
	5	(120)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(121)	N-Ac-Sar-Gly-Val-D-Leu-Allylgly-Nva-Ile-Arg/ProNHCH2CH3,
		(122)	N-Ac-Sar-Gly-Val-D-Leu-Ile-Nva-Ile-Arg-ProNHCH2CH3,
		(123)	N-Ac-Sar-Gly-Val-D-Leu-D-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(124)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Ile-Ile-Arg-ProNHCH ₂ CH ₃ ,
	10	(125)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nle-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(126)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Cit-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(127)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Met(O_2)-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(128)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Arg-Ile-Arg-ProNHCH ₂ CH ₃ ,
1. 1.2 1.4 1.4		(129)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Tyr-lle-Arg-ProNHCH ₂ CH ₃ ,
=======================================	15	(130)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Gly-Ile-Arg-ProNHCH ₂ CH ₃ ,
* j		(131)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Lys(Ac)-Ile-Arg-ProNHCH ₂ CH ₃ ,
Ham Ham Hugh		(132)	N-Ac-Sar-Gly-Val-D-Ile-ThryPropargylgly-Ile-Arg-ProNHCH ₂ CH ₃ ,
13 []]		(133)	N-Ac-Sar-Gly-Val-D-allolle-Thr-Gln-Ile-Arg-ProNHCH ₂ CH ₃ ,
a 11		(134)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH ₂ CH ₃ ,
Will this with with with	20	(135)	N-Ac-Bala-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
₹∐ ₹∐		(136)	N-Phenylacetyl-Sar-Gly-Val-D-lle-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
, T		(137)	N-Ac-Sar-Gly-Val-D/lle-Thr-Nva-Ile-Arg-Pro-AzaglyNH ₂ ,
13		(138)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Sar-NHCH ₂ CH ₃ ,
		(139)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-SerNH ₂ ,
	25	(140)	N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(141)	N-Ac-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
		(142)	N-Ac-Sar-Leu-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(143)	N-Ac-Sar-Pho-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(144)	N-Ac-Sar-Glu-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	30	(145)	N-Ac-Sar-Pro-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH2CH3,
		(146)	N-Ac-Sar-Asn-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(147)	N-Ac-Sar-Asp-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(148)	N-Ac-Asn-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH2CH3,
			1

1
==
==
*
Ţij,
[]
æ
ļ d
ing a
1
fs fs
12

		(149)	N-Ac-Gln-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(150)	N-Ac-Ser-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHQH ₂ CH ₃ ,
		(151)	N-Ac-Cit-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(152)	N-Ac-Glu-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	5	(153)	N-Ac-Gaba-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(154)	N-Ac-Bala-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(155)	N-Ac-Gln-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(156)	N-Ac-Sar-Gly-Gly-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(157)	N-Ac-Sar-Gly-Glu-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	10	(158)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(159)	N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH2CH3,
		(160)	N-Succinyl-Sar-Gly-Val-D-Leu-Thy-Gln-Ile-Arg-ProNHCH2CH3,
4 720		(161)	N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-ProNHCH2(CH3)2,
		(162)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Asp-Ile-Arg-ProNHCH2CH3,
=======================================	15	(163)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Asp-Ile-Arg-ProNHCH2CH3,
ij		(164)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Asn-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(165)	N-Ac-Sar-Gly-Val-D-Ile-The-Met(O)-Ile-Arg-ProNHCH2CH3,
ī		(166)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Asn-Ile-Arg-ProNHCH ₂ CH ₃ ,
£.		(167)	N-Ac-Sar-Gly-Val-D-Thr-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
4	20	(168)	N-Ac-Sar-Gly-Val-D-Ser-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(169)	N-Ac-Sar-Gly-Val-D-Hser-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
LA LA COURTO DE		(170)	N-Ac-Sar-Gly-Val-D/Gln-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(171)	N-Ac-Sar-Gly-Val-D-Asn-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(172)	N-Ac-Sar-Gly-Val-D-Cit-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	25	(173)	N-Ac-Sar-Gly-Val-D-Hcit-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(174)	N-Ac-Sar-Gly-Val-D-Hle-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(175)	N-Ac-Sar-Gly- $\sqrt{\text{al-D-Neopentylgly-Thr-Nva-Ile-Arg-ProNHCH}_2\text{CH}_3}$,
		(176)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Phe(4-CONH ₂)-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(177)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-His-ProNHCH2CH3,
	30	(178)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys(Isp)-ProNHCH ₂ CH ₃ ,
		(179)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Lys(Nic)-ProNHCH2CH3,
		(180)	N-Ac-Sar-&ly-Val-D-Ile-Thr-Nva-Ile-Orn(Nic)-ProNHCH2CH3,
		(181)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Orn(Isp)-ProNHCH ₂ CH ₃ ,

(182)

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Phe(4-NIsp)-ProNHCH₃.



		(272)	N-Ac-Sar-Gly-Val-D-allolle-Thr-Nva-Ile-Arg-ProNHCH2CH2OCH3,
		(273)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH2CH2OCH3,
		(274)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Ser-Ile-Arg-ProNHCH2CH2OCH3,
		(275)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH2CH2OCH3,
	5	(276)	N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH2OCH3,
		(277)	N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH ₂ CH ₂ OCH ₃ ,
		(278)	N-Succinyl-Sar-Gly-Val-D-allolle-Thr-Gly-Ile-Arg-ProNHCH ₂ CH ₂ OCH ₃ ,
		(279)	N-Ac-Sar-Gly-Val-D-Ile-Ser-Nva-Ile-Arg-ProNHCH2CH2OCH3,
		(280)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH2CH2OCH3,
	10	(281)	N-Ac-Sar-Gly-Val-D-allolle-Thr-Allygly-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(282)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Allygly-Ile-Arg-ProNHCH2(CH3)2,
a		(283)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Allygly-Ile-Arg-Pro-D-AlaNH2,
		(284)	N-Ac-Sar-Gly-Val-D-allolle-Thr-Allygly-Ile-Arg-Pro-D-AlaNH ₂ ,
		(285)	N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Allygly-Ile-Arg-Pro-D-AlaNH ₂ ,
	15	(286)	N-Ac-Sar-Gly-Val-D-Ile-Ser-Allygly-Ile-Arg-Pro-ProNHCH ₂ CH ₃ ,
ų.		(287)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Allygly-Ile-Arg-Pro-ProNHCH ₂ CH ₃ ,
		(288)	N-Ac-Sar-Gly-Val-D-Ilg-Thr-Nva-Ile-Arg-Pro-SarNH ₂ ,
		(289)	N-Ac-Sar-Gly-Val-D-Me-Thr-Nva-Ile-Arg-ProNHOH,
		(290)	N-Ac-Sar-Gly-Val-D-Ile-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	20	(291)	N-Ac-Sar-Gly-Val ₇ D-alloIle-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(292)	N-Ac-Sar-Gly-Val-D-Leu-Hser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(293)	N-Ac-Sar-Gly-Gln-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(294)	N-Ac-Sar-Gly/Nva-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(295)	N-Ac-Sar-Gly-Ile-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	25	(296)	N-Ac-Sar-Gly-Phe-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(297)	N-Ac-Sar-Gly-Leu-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(298)	N-Ac-Saf-Gly-Ser-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(299)	N-Ac-Thr-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH2CH3,
		(300)	N-Ac-Sar-Gly-Val-D-allolle-Thr-Ala-Ile-Arg-ProNHCH ₂ CH ₃ ,
	30	(301)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(302)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-Pro-D-AlaNH ₂ ,
		(303)	N-Ac-Sar-Gly-Val-D-alloIle-Thr-Ala-Ile-Arg-Pro-D-AlaNH ₂ ,
		(304)	N/Succinyl-Sar-Gly-Val-D-Ile-Thr-Ala-Ile-Arg-Pro-D-AlaNH ₂ ,
			/
			1

(338)

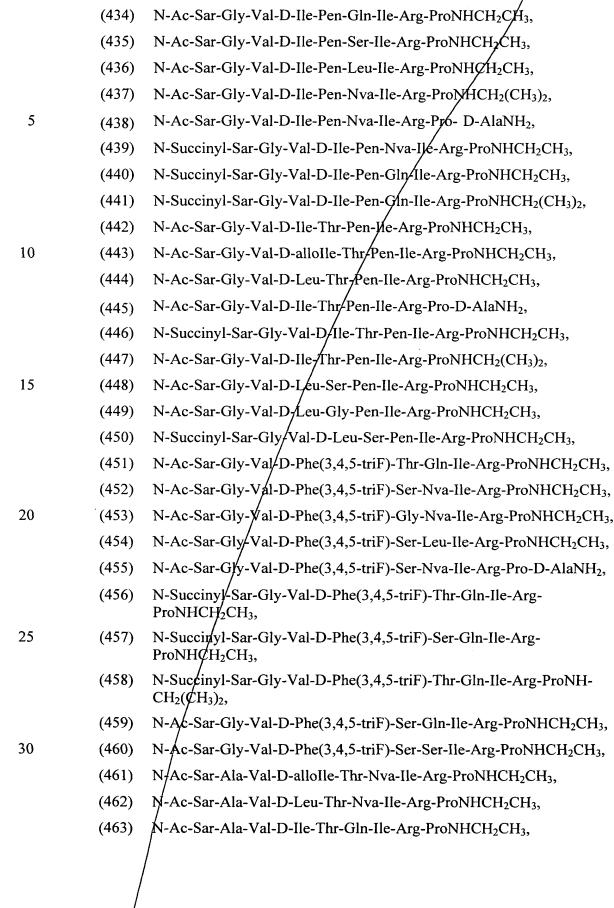
N-Ac-Sar-Gly-Val-D-allolle-Ser-Nva-Ile-Arg-ProNHC1/2(CH₃)₂,

- 220 -

		(371)	N-Ac-Sar-Gly-Val-D-Thr-Ser-Gln-Ile-Arg-ProNHCH ₂ CH ₂ ,
		(372)	N-(6-Ac-Aca)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH2(CH3)2,
		(373)	N-(6-Ac-Aca)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(374)	N-(4-Ac-Gaba)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
	5	(375)	N-(4-Ac-Gaba)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(376)	N-(2-Furoyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(377)	N-(2-Furoyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(378)	N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Gln-/le-Arg-ProNHCH2(CH3)2,
		(379)	N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Nya-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
	10	(380)	N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(381)	N-(Shikimyl)-Sar-Gly-Val-D-Leu-Sep-Nva-Ile-Arg-ProNHCH2(CH3)2,
		(382)	N-(2-Me-nicotinyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg- ProNHCH ₂ (CH ₃) ₂ ,
8 11 C 8 G. 9	15	(383)	N-(2-Me-nicotinyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg- ProNHCH ₂ (CH ₃) ₂ ,
=======================================		(384)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl,
		(385)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl,
A Bon thom that		(386)	N-Ac-Sar-Gly-Val-DIle-Thr-Ser-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl,
		(387)	N-Ac-Sar-Gly-Val-D-Leu/Thr-Nva-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl,
a	20	(388)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Ser-Ile-Arg-ProNHethyl-1-(R)-cyclohexyl,
Company on the second of the s		(389)	N-Ac-Sar-Gly-Val-DIIg-Thr-Nva-Ile-Arg-ProNHethyl-1-(S)-cyclohexyl,
	•	(390)	N-Ac-Sar-Gly-Val-D-Pen-Ser-Nva-Ile-Arg-ProNHCH2CH3,
		(391)	N-Ac-Sar-Gly-Val-D-Pen-Gly-Nva-Ile-Arg-ProNHCH2CH3,
		(392)	N-Ac-Sar-Gly-Val/D-Pen-Thr-Gln-Ile-Arg-ProNHCH2CH3,
	25	(393)	N-Ac-Sar-Gly-Val-D-Pen-Ser-Nva-Ile-Arg-ProNHCH2(CH3)2,
		(394)	N-Succinyl-Sar/Gly-Val-D-Pen-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(395)	N-Ac-Sar-Gly-Val-D-Pen-Ser-Nva-Ile-Arg-Pro-D-AlaNH ₂ ,
		(396)	N-Ac-Sar-Gly-Val-D-Pen-Ser-Gln-Ile-Arg-ProNHCH2CH3,
		(397)	N-Ac-Sar-Gly-Val-D-Pen-Gly-Gln-Ile-Arg-ProNHCH2CH3,
	30	(398)	N-Ac-Sar-Gly-Val-D-Pen-Ser-Ser-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(399)	N-Ac-Sar/Gly-Val-D-Pen-Thr-Ser-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(400)	N-Ac-Sar-Gly-Val-D-Pen-Thr-Leu-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(401)	N-Ac-Sar-Gly-Val-D-Pen-Ser-Leu-Ile-Arg-ProNHCH ₂ CH ₃ ,
		` /	

[]	
4 3	
22	
# 25	
٠	
# 12 H	
FU	
House House House	
=	
į. s	
1	
fu	
13	

			/
		(402)	N-Succinyl-Sar-Gly-Val-D-Pen-Ser-Ser-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(403)	N-Succinyl-Sar-Gly-Val-D-Pen-Ser-Leu-Ile-Arg-ProNHCH2CH3,
		(404)	N-Succinyl-Sar-Gly-Val-D-Pen-Thr-Gln-Ile-Arg-ProNHCH2(CH3)2,
		(405)	N-Ac-Sar-Gly-Val-D-Cys-Thr-Nva-Ile-Arg- ProNICH2CH3,
	5	(406)	N-Ac-Sar-Gly-Val-D-Cys-Ser-Nva-Ile-Arg-ProMHCH2CH3,
		(407)	N-Ac-Sar-Gly-Val-D-Cys-Gly-Nva-Ile-Arg-ProNHCH2CH3,
		(408)	N-Ac-Sar-Gly-Val- D-Cys-Thr-Gln-Ile-Arg-ProNHCH2CH3,
		(409)	N-Ac-Sar-Gly-Val-D-Cys-Ser-Nva-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
		(410)	N-Succinyl-Sar-Gly-Val-D-Cys-Ser-Nya-Ile-Arg-ProNHCH2CH3,
	10	(411)	N-Ac-Sar-Gly-Val-D-Cys-Ser-Nva-Ile-Arg-Pro-D-AlaNH ₂ ,
		(412)	N-Ac-Sar-Gly-Val-D-Cys-Ser-Gln-Tle-Arg-ProNHCH2CH3,
		(413)	N-Ac-Sar-Gly-Val-D-Cys-Gly-Gln-Ile-Arg-ProNHCH2CH3,
7		(414)	N-Ac-Sar-Gly-Val-D-Cys-Ser-Ser-Ile-Arg-ProNHCH2CH3,
		(415)	N-Ac-Sar-Gly-Val-D-Cys-Thr-Ser-Ile-Arg-ProNHCH2CH3,
 	15	(416)	N-Ac-Sar-Gly-Val-D-Cys-Thr-Leu-Ile-Arg-ProNHCH2CH3,
Ų V		(417)	N-Ac-Sar-Gly-Val-D-Cys-Ser-Leu-Ile-Arg-ProNHCH2CH3,
		(418)	N-Succinyl-Sar-Gly-Val-p-Cys-Ser-Ser-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(419)	N-Succinyl-Sar-Gly-Val-D-Cys-Ser-Leu-Ile-Arg-ProNHCH2CH3,
& -		(420)	N-Ac-Sar-Gly-Pen-DIle-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	20	(421)	N-Ac-Sar-Gly-Cys-Dile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
4H 4H 4		(422)	N-Ac-Sar-Gly-Pen-D-allolle-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
8B		(423)	N-Ac-Sar-Gly-Pen-D-Leu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(424)	N-Ac-Sar-Gly-Pen-D-Ile-Thr-Gln-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(425)	N-Ac-Sar-Gly-Pen-D-Ile-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	25	(426)	N-Ac-Sar-Gly-Pen-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2(CH3)2,
		(427)	N-Ac-Sar-Gly-Pen-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH ₂ ,
		(428)	N-Succinyl ₇ Gly-Pen-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(429)	N-Succinyl-Sar-Gly-Pen-D-Ile-Thr-Gln-Ile-Arg-ProNHCH2CH3,
		(430)	N-Succinyl-Sar-Gly-Pen-D-Ile-Thr-Gln-Ile-Arg-ProNHCH ₂ (CH ₃) ₂ ,
	30	(431)	N-Ac-Sar-Gly-Val-D-Leu-Pen-Nva-Ile-Arg-ProNHCH2CH3,
		(432)	N-Ac-\$ar-Gly-Val-D-Ile-Pen-Nva-Ile-Arg-ProNHCH2CH3,
		(433)	N-Ac-Sar-Gly-Val-D-alloIle-Pen-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,



			/
		(464)	N-Ac-Sar-Ala-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH2CH3,
		(465)	N-Ac-Sar-Ala-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH2CH3,
		(466)	N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
		(467)	N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Gln-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	5	(468)	N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Gln-Nva-Je-Arg-ProNHCH2(CH3)2,
		(469)	N-Succinyl-Sar-Ala-Val-D-Ile-Thr-Gln-Nya-Ile-Arg-Pro-D-AlaNH ₂ ,
		(470)	N-(3-Ac-Bala)-Sar-Gly-Val-D-allolle-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(471)	N-(3-Ac-Bala)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-ProNHCH2CH3,
		(472)	N-(3-Ac-Bala)-Sar-Gly-Val-D-allolle-Thr-Gln-Ile-Arg-ProNHCH2CH3,
	10	(473)	N-(3-Ac-Bala)-Sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-DAlaNH2,
	•	(474)	N-(3-Ac-Bala)-Sar-Gly-Val-D-allolle-Thr-Gln-Ile-Arg-Pro-DAlaNH ₂ ,
		(475)	N-(3-Ac-Bala)-Sar-Gly-Val-D-allolle-Thr-Gln-Ile-Arg-ProNHCH2(CH3)2,
1		(476)	N-(3-Ac-Bala)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH2CH3,
==		(477)	N-(3-Ac-Bala)-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
AND THE TOTAL AND THE THE AND	15	(478)	N-(3-Ac-Bala)-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(479)	N-(3-Ac-Bala)-Sar-Gly-Val-D-Ile-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
en En		(480)	N-(3-Ac-Bala)-Sar-Ala-Val-D-alloIle-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(481)	N-(3-Ac-Bala)-Sar-Ala-Val-D-Ile-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
A. A		(482)	N-(3-Ac-Bala)-Sar-Ala-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	20	(483)	N-(3-Ac-Bala)-Sar-Ala-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(484)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-OH,
ŧ		(485)	N-Ac-Sar-Gly-Val-D-allolle-Thr-Nva-Ile-Arg-Pro-OH,
		(486)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Ile-Arg-Pro-OH,
		(487)	N-Ac-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-Pro-OH,
	25	(488)	N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Nva-Ile-Arg-Pro-OH,
		(489)	N-Ac-sar-Gly-Val-D-Ile-Thr-Gln-Ile-Arg-Pro-OH,
		(490)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-Pro-OH,
		(491)	N-Ac-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-OH,
		(492)	N-Ac-Sar-Gly-Val-D-Ile-Ser-Gln-Ile-Arg-Pro-OH,
	30	(493)	N-Succinyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-OH,
		(494)	N-Succinyl-Sar-Gly-Val-D-Leu-Thr-Gln-Ile-Arg-Pro-OH,
		(495)	N-Ac-Sar-Gly-Asp-D-Leu-Thr-Nva-Ile-Arg-ProNHCH2CH3,

(496)

(497)

(13)

N-Ac-Sar-Gly-Ala-D-Leu-Thr-Nva-Ile-Arg-ProNHCH2CH3,

N-Ac-Sar-Gly-Cha-D-Leu-Thr-Nva-Ile-Arg-ProNHCH2CH3,

N-Ac-Sar-Gly-Val-D-2-Thienylala-Thr-Nva-Ile-Arg-ProNHCH₂CH₃,

		(10)	The sure of the fire the fire from the fire
		(16)	N[2-THF-C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	5	(17)	$N[6-N-acetyl-(CH_2)_5C(O)]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH_2CH_3$,
		(18)	N-Hexanoyl-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
		(19)	$N-[4-N-Acetylaminobutyryl]-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH_2CH_3$,
	10	(20)	$N-[CH_3C(O)NH-(CH_2)_2-O-(CH_2)_2-O-CH_2-C(O)]-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH_2CH_3$,
		(21)	N-Ac-Pro-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
		(22)	N-Ac-NEtGly-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH2CH3,
11 A. B.		(23)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Leu-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(24)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Ser-Ile-Arg-ProNHCH2CH3,
	15	(25)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH ₂ ,
		(26)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Lys(Ac)-Arg-ProNHCH2CH3,
		(27)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Leu-Arg-ProNHCH2CH3,
A 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1		(28)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-1Nal-Arg-ProNHCH ₂ CH ₃ ,
ar Till		(29)	N-Ac-Sar-Gly-Val-D-Leu-Thr-Nva-Allylgly-Arg-ProNHCH2CH3,
į.	20	(30)	N-Ac-Sar-Gly-Val-D-Leu-Ala-Nva-Ile-Arg-ProNHCH2CH3,
åå F∷		(31)	N-Ac-Sar-Gly-Val-D-Leu-Trp-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
Hall Hall Harry Harry Agen		(32)	N-Ac-Sar-Gly-Val-D-Leu-Tyr-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
*]		(33)	N-Ac-Sar-Gly-Val-D-Leu-Gly-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
- 194		(34)	N-Ac-Sar-Gly-Val-D-Leu-2Nal-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
	25	(35)	N-Ac-Sar-Gly-Val-D-Leu-1Nal-Nva-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(36)	N-Ac-Sar-Gly-Val-D-Leu-Octylgly-Nva-Ile-Arg-ProNHCH2CH3,
		(37)	N-Ac-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH2CH3,
		(38)	N-Ac-Sar-Gly-Val-D-Leu-Allylgly-Nva-Ile-Arg-ProNHCH2CH3,
		(39)	N-Ac-Sar-Gly-Val-D-Leu-D-Thr-Nva-Ile-Arg-ProNHCH2CH3,
	30	(40)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Tyr-Ile-Arg-ProNHCH2CH3,
		(41)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Glu-Ile-Arg-ProNHCH2CH3,
		(42)	N-Ac-Sar-Gly-Val-D-Ile-Thr-Propargylgly-Ile-Arg-ProNHCH ₂ CH ₃ ,
		(43)	N-Ac-Sar-Gly-Val-D-allolle-Thr-Gln-Ile-Arg-ProNHCH ₂ CH ₃ ,

N-Ac-Sar-Gly-Val-D-3-CNPhe-Thr-Nva-Ile-Arg-ProNHCH₂CH₃,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Cha-Ile-Arg-ProNHCH₂CH₃,

(14)

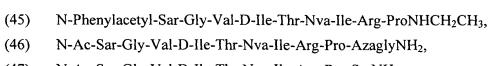
(15)

(44)

N-Ac-Bala-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH₂CH₃,

20

5



- (47) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-SerNH₂,
- (48) N-(6-Ac-Aca)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH₂(CH₃)₂,
- (49) N-(6-Ac-Aca)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (50) N-(4-Ac-Gaba)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (51) N-(4-Ac-Gaba)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (52) N-(2-Furoyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (53) N-(2-Furoyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH₂(CH₃)₂,
- 10 (54) N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (55) N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (56) N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (57) N-(Shikimyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (58) N-(2-Me-nicotinyl)-Sar-Gly-Val-D-Leu-Ser-Gln-Ile-Arg-ProNHCH₂(CH₃)₂,
 - (59) N-(2-Me-nicotinyl)-Sar-Gly-Val-D-Leu-Ser-Nva-Ile-Arg-ProNHCH₂(CH_3)₂,
 - (60) N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-OH,

and

- (61) N-Ac-Sar-Ala-Val-D-Ile-Thr-Nva-Ile-Arg-ProNHCH₂CH₃,
- (62) N-Ac-Sar-Gly-Val-D-Pen-Thr-Nva-Ile-Arg-ProNHCH₂CH₃,
 (63) N-Ac-Sar-Gly-Val-D-Phe(3,4,5-triF)-Thr-Nva-Ile-Arg-ProNHCH₂CH₃,
- (64) N-Ac-Sar-Gly-Val-D-Phe(4-NH₂)-Thr-Nva-Ile-Arg-ProNHCH₂CH₃.
- 14. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.
- 15. A method of treating a patient in need of anti-angiogenesis therapy comprising administering to the patient in need a therapeutically effective amount of a compound in Claim 1.
- 16. A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention,

The first term than the first the other than the first term the first term than the first term than the first term the first term the first term than the first term t

macular degeneration and diabetic retinopathy comprising a peptide as defined in Claim 1 in combination with a pharmaceutically acceptable carrier.

17. A method of isolating a receptor from an endothelial cell comprising binding a peptide as defined in Claim 1 to the receptor to form a peptide receptor complex; isolating the peptide receptor complex; and purifying the receptor.